

INTERNATIONAL SEARCH REPORT

International Application No.

PC1/788 03/03714

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D239/95 A61K31/517 A61K31/519 C07D471/04

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>GRAFFNER-NORDBERG M ET AL: "Computational predictions of binding affinities to dihydrofolate reductase: synthesis and biological evaluation of methotrexate analogues"</p> <p>JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, US, vol. 43, 2000, pages 3852-3861, XP002197001</p> <p>ISSN: 0022-2623</p> <p>cited in the application</p> <p>the whole document</p> <p style="text-align: center;">-/-</p>	1,9,10

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document not published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

A document member of the same patent family

Date of the actual completion of the international search

18 November 2003

Date of mailing of the international search report

19/12/2003

Name and mailing address of the ISA

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International Application No

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,P	<p>GRAFFNER-NORDBERG M ET AL: "Design and synthesis of dihydrofolate reductase inhibitors encompassing a bridging ester group. Evaluation in a Mouse Colitis Model"</p> <p>JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, US, vol. 46, 2003, pages 3455-3462, XP002261905</p> <p>ISSN: 0022-2623</p> <p>figure 1</p>	1,9,10
Y	<p>GRAFFNER-NORDBERG M ET AL: "Design, synthesis, computational prediction, and biological evaluation of ester soft drugs as inhibitors of dihydrofolate reductase from pneumocystis carinii"</p> <p>JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, US, vol. 44, 2001, pages 2391-2402, XP002197000</p> <p>ISSN: 0022-2623</p> <p>scheme 1 and scheme 3</p>	1,9,10
Y	<p>US 5 698 556 A (CHAN CARCY L)</p> <p>16 December 1997 (1997-12-16)</p> <p>column 3, line 62 -column 4, line 30</p>	1,9,10
Y	<p>WO 99 36409 A (NAIR MADHAVAN G)</p> <p>22 July 1999 (1999-07-22)</p> <p>page 3, paragraph 2 -page 5, paragraph 1</p>	1,9,10

Form PCT/ISA/210 (continuation of second sheet) (July 1992)

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International application No.
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Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 12-22
because they relate to subject matter not required to be searched by this Authority, namely:
see FURTHER INFORMATION sheet PCT/ISA/210
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.1

Although claims 12-22 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

Continuation of Box I.1

Claims Nos.: 12-22

Rule 39.1(iv) PCT - Method for treatment of the human or animal body by therapy

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INTERNATIONAL SEARCH REPORT

Information on patent family members

Intern. Application No

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Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 5698556	A	16-12-1997	NONE
WO 9936409	A	22-07-1999	US 5912251 A 15-06-1999
			EP 1062209 A1 27-12-2000
			JP 2002509139 T 26-03-2002
			WO 9936409 A1 22-07-1999

Form PCT/ISA/210 (patent family annex) (July 1992)

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